

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
18 August 2005 (18.08.2005)

PCT

(10) International Publication Number
WO 2005/075482 A1

(51) International Patent Classification⁷: **C07D 487/08**,
471/08, A61K 31/4995, 31/551, A61P 25/00

(21) International Application Number:
PCT/EP2005/050405

(22) International Filing Date: 1 February 2005 (01.02.2005)

(25) Filing Language: English.

(26) Publication Language: English

(30) Priority Data:
PA 2004 00169 4 February 2004 (04.02.2004) DK
60/541,754 5 February 2004 (05.02.2004) US
60/574,946 28 May 2004 (28.05.2004) US
pa 2004 00839 28 May 2004 (28.05.2004) DK

(71) Applicant (for all designated States except US): **NEUROSEARCH A/S [DK/DK]**; 93 Pederstrupvej, DK-2750 Ballerup (DK).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **PETERS, Dan [SE/DK]**; c/o NeuroSearch A/S, 93 Pederstrupvej, DK-2750 Ballerup (DK). **OLSEN, Gunnar, M. [DK/DK]**; c/o NeuroSearch A/S, 93 Pederstrupvej, DK-2750 Ballerup (DK). **NIELSEN, Elsebet, Østergaard [DK/DK]**; c/o NeuroSearch A/S, 93 Pederstrupvej, DK-2750 Ballerup (DK). **JØRGENSEN, Tino, Dyhring [DK/DK]**; c/o NeuroSearch A/S, 93 Pederstrupvej, DK-2750 Ballerup (DK). **AHRING, Philip, K. [DK/DK]**; c/o NeuroSearch A/S, 93 Pederstrupvej, DK-2750 Ballerup (DK). **TIMMERMANN, Daniel, B. [DK/DK]**; c/o NeuroSearch A/S, 93 Pederstrupvej, DK-2750 Ballerup (DK).

(74) Common Representative: **NEUROSEARCH A/S**; Patent Department, 93 Pederstrupvej, DK-2750 Ballerup (DK).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM,

AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declaration under Rule 4.17:

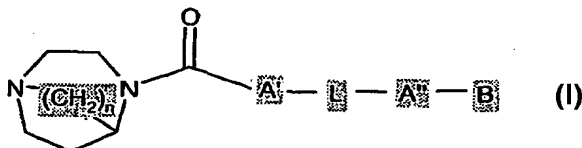
— as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: **DIAZABICYCLIC ARYL DERIVATIVES AS NICOTINIC ACETYLCHOLINE RECEPTOR LIGANDS**



(57) Abstract: This invention relates to novel diazabicyclic aryl derivatives represented by Formula (I); which are found to be cholinergic ligands at the nicotinic acetylcholine receptors. Due to their pharmacological profile the compounds of the invention may be useful for the treatment of diseases or disorders as diverse as those related to the cholinergic system of the central nervous system (CNS), the peripheral nervous

system (PNS), diseases or disorders related to smooth muscle contraction, endocrine diseases or disorders, diseases or disorders related to neuro-degeneration, diseases or disorders related to inflammation, pain, and withdrawal symptoms caused by the termination of abuse of chemical substances.